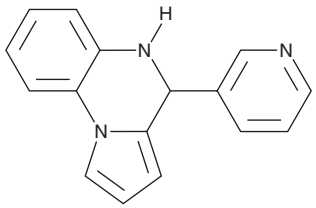
PRODUCT INFORMATION

UBCS039

Item No. 43478

CAS Registry No.:	358721-70-7
Formal Name:	4,5-dihydro-4-(3-pyridinyl)-pyrrolo[1,2-a]quinoxaline
MF:	$C_{16}H_{13}N_3$
FW:	247.3
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

UBCS039 is supplied as a solid. A stock solution may be made by dissolving the UBCS039 in the solvent of choice, which should be purged with an inert gas. UBCS039 is soluble (≥10 mg/ml) in DMSO. UBCS039 is sparingly soluble (1-10 mg/ml) in ethanol.

Description

UBCS039 is an activator of sirtuin 6 (SIRT6).[1] It increases the deacetylation of a peptide corresponding to acetylated lysine 9 on histone H3 (H3K9) in a cell-free assay (EC_{50} = ~38 µM). UBCS039 selectively activates SIRT6 deacetylation activity over SIRT1, SIRT2, and SIRT3 deacetylation activities but does increase SIRT5 desuccinylation activity at 100 µM. It is active against *M. tuberculosis* (MIC = 50 µM) and is cytotoxic against a panel of six cancer cell lines (IC_{50}s = 9.53-59.25 µM).[2] UBCS039 (50 mg/kg) decreases disease severity, hepatocyte apoptosis, and aspartate aminotransferase (Ast), alanine transaminase (Alt), IL-1β, IL-6, and TNF-α serum levels in a mouse model of thioacetamide-induced acute liver failure.[3] It increases the mean time to thrombotic occlusion in a mouse model of ferric chloride-induced arterial thrombosis when administered at a dose of 2 mg/kg.[4]

References

1. You, W., Rotili, D., Li, T.-M., *et al.* Structural basis of sirtuin 6 activation by synthetic small molecules. *Angew. Chem. Int. Ed. Engl.* **56(4)**, 1007-1011 (2017).
2. Makane, V.B., Krishna, E.V., Karale, U.B., *et al.* Synthesis of novel 4,5-dihydropyrrolo[1,2-a]quinoxalines, pyrrolo[1,2-a]quinoxalin]-2-ones and their antituberculosis and anticancer activity. *Arch. Pharm. (Weinheim)* **353(12)**, e2000192 (2020).
3. Jiao, F., Zhang, Z., Hu, H., *et al.* SIRT6 activator UBCS039 inhibits thioacetamide-induced hepatic injury in vitro and in vivo. *Front. Pharmacol.* **13**, 837544 (2022).
4. Liu, Y., Wang, T., Zhou, Q., *et al.* Endogenous SIRT6 in platelets negatively regulates platelet activation and thrombosis. *Front. Pharmacol.* **14**, 1268708 (2023).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM